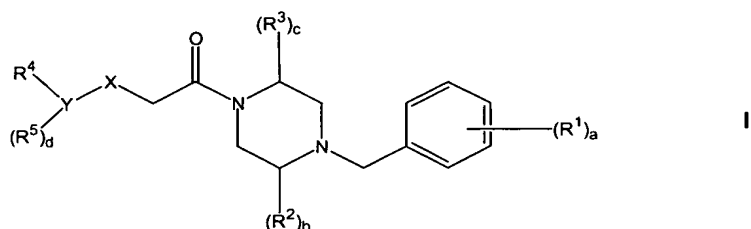


What is claimed is:

1. A method of treating or preventing a disorder or condition selected from the group consisting of fibrosis, Alzheimer's disease, conditions associated with leptin production, sequelae associated with cancer, cancer metastasis, diseases or conditions related to production of cytokines at inflammatory sites, and tissue damage caused by inflammation induced by infectious agents; wherein the method comprises administering to a mammal in need of such treatment or prevention a pharmaceutically effective amount of a compound of formula (I)



or a pharmaceutically acceptable form thereof; wherein

a is 0, 1, 2, 3, 4, or 5;

b is 0, 1, or 2;

15 c is 0, 1, or 2;

d is 0, 1, 2, 3, or 4;

X is -O-, -S-, -CH₂-, or -NR⁶-;

Y is (C₆-C₁₀)aryl or (C₂-C₉)heteroaryl;

20 each R¹ is independently H-, HO-, halo-, (C₁-C₈)alkyl-, (C₁-C₈)alkyl-O-, HO-(C₁-C₈)alkyl-, NC-, H₂N-, H₂N-(C₁-C₈)alkyl-, HO-(C=O)-, (C₁-C₈)alkyl-(C=O)-, (C₁-C₈)alkyl-(C=O)-(C₁-C₈)alkyl-, H₂N-(C=O)-, or H₂N-(C=O)-(C₁-C₈)alkyl-;

25 each R² and R³ are independently H-, oxo, (C₁-C₈)alkyl-, (C₃-C₈)cycloalkyl-, (C₁-C₈)alkyl-, (C₆-C₁₀)aryl-, (C₆-C₁₀)aryl-(C₁-C₈)alkyl-, HO-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, H₂N-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-(C₁-C₈)alkyl-, [(C₁-C₈)alkyl]₂N-(C₁-C₈)alkyl-, (C₂-C₉)heterocyclyl-(C₁-C₈)alkyl-, (C₃-C₈)cycloalkyl-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-O-(C=O)-NH-(C₁-C₈)alkyl-, H₂N-(C=O)-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C₁-C₈)alkyl-, (C₂-C₉)heteroaryl-(C₁-C₈)alkyl-, H₂N-(C=O)-, or H₂N-(C=O)-(C₁-C₈)alkyl-;

30 R⁴ is [HO-(C=O)-][H₂N-](C₁-C₈)alkyl-, [HO-(C=O)-][(C₁-C₈)alkyl]NH-](C₁-C₈)alkyl-, [HO-(C=O)-][((C₁-C₈)alkyl)₂N-](C₁-C₈)alkyl-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl-],

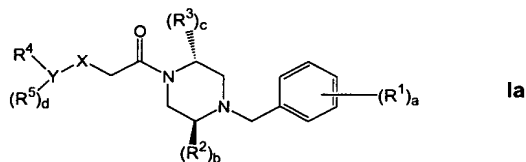
C_8 alkyl]N-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl]N-(C₁-C₈)alkyl-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl-SO₂]N-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl-SO₂]N-(C₁-C₈)alkyl-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl-(C=O)-]N-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl-O-(C=O)-]N-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl-O-(C=O)-]N-(C₁-C₈)alkyl-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl-NH-(C=O)-]N-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-O-N=(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-NH-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-NH-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-NH-SO₂-, HO-(C=O)-(C₁-C₈)alkyl-NH-SO₂-(C₁-C₈)alkyl-, HO-(C=O)-(C=O)-NH-SO₂-, HO-(C=O)-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-NH-(C=O)-NH-, HO-(C=O)-(C₁-C₈)alkyl-NH-(C=O)-NH-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-O-, HO-(C=O)-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl substituted with hydroxy, HO-(C=O)-(C₂-C₈)alkenyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-O-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-O-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-O-, (C₁-C₉)heterocyclyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-O-, (C₁-C₉)heteroaryl-O-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-S-, HO-(C=O)-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-S-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-S-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-S-, (C₁-C₉)heterocyclyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-S-, (C₁-C₉)heteroaryl-S-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-NH-SO₂-NH-, HO-(C=O)-(C₁-C₈)alkyl-NH-SO₂-NH-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-NH-(C=O)-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-NH-SO₂-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, HO-(C=O)-(C=O)-, HO-(C=O)-(C=O)-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₉)heterocyclyl-(C=O)-, HO-(C=O)-(C₁-C₉)heteroaryl-(C=O)-, NC-NH-(C=O)-, NC-NH-(C=O)-(C₁-C₈)alkyl-, [(C₁-C₈)alkyl-SO₂-NH-(C=O)-][H₂N-](C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-NH-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-NH-(C₁-C₈)alkyl-, [(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl]N-, [(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl]N-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-NH-SO₂-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-SO₂-NH-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-SO₂-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-

- SO₂-NH-(C=O)-(C₁-C₈)alkyl-SO₂-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C=O)-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C=O)-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-(C=O)-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-(C=O)-(C₁-C₈)alkyl-, NC-(C₁-C₈)alkyl-SO₂-NH-(C=O)-, NC-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, HO-(C₁-C₈)alkyl-SO₂-NH-(C=O)-, HO-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₂-C₈)alkenyl-, (C₁-C₉)heterocyclyl-SO₂-NH-(C=O)-, (C₁-C₉)heterocyclyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-SO₂-NH-(C=O)-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₆-C₁₀)aryl-SO₂-NH-(C=O)-, (C₆-C₁₀)aryl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-SO₂-NH-(C=O)-, (C₁-C₉)heteroaryl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, H₂N-SO₂-NH-(C=O)-, H₂N-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-SO₂-NH-(C=O)-, (C₁-C₈)alkyl-NH-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, [(C₁-C₈)alkyl]₂N-SO₂-NH-(C=O)-, [(C₁-C₈)alkyl]₂N-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, H₂N-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, NC-(C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, HO-(C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₆-C₁₀)aryl-(C=O)-NH-SO₂-, (C₆-C₁₀)aryl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C=O)-NH-SO₂-, (C₁-C₉)heteroaryl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C=O)-NH-SO₂-, (C₁-C₉)heterocyclyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, H₂N-(C=O)-NH-SO₂-, H₂N-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, [(C₁-C₈)alkyl]₂N-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₆-C₁₀)aryl-NH-(C=O)-NH-SO₂-, (C₆-C₁₀)aryl-NH-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-NH-(C=O)-NH-SO₂-, (C₁-C₉)heteroaryl-NH-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-O-(C=O)-NH-SO₂-, (C₁-C₈)alkyl-O-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₆-C₁₀)aryloxy-(C=O)-NH-SO₂-, (C₆-C₁₀)aryloxy-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-O-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-O-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-NH-(C₁-C₈)alkyl-, (C₆-C₁₀)aryl-SO₂-NH-(C=O)-O-, (C₆-C₁₀)aryl-SO₂-NH-(C=O)-O-(C₁-C₈)alkyl-, (C₆-C₁₀)aryl-SO₂-NH-(C=O)-NH-, (C₆-C₁₀)aryl-SO₂-NH-(C=O)-NH-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-SO₂-NH-(C=O)-O-, (C₁-C₉)heteroaryl-SO₂-NH-(C=O)-O-(C₁-C₈)alkyl-, NH₂-SO₂-NH-(C=O)-O-, NH₂-SO₂-NH-(C=O)-O-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-SO₂-NH-(C=O)-NH-, (C₁-C₉)heteroaryl-SO₂-NH-(C=O)-NH-(C₁-C₈)alkyl-, NH₂-SO₂-NH-(C=O)-NH-, NH₂-SO₂-NH-(C=O)-NH-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-NH-(C=O)-O-, HO-(C=O)-(C₁-C₈)alkyl-NH-(C=O)-O-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-O-(C=O)-NH-, HO-(C=O)-(C₁-C₈)alkyl-O-(C=O)-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-

- NH-SO₂-NH-, (C₁-C₈)alkyl-(C=O)-NH-SO₂-NH-(C₁-C₈)alkyl, (C₆-C₁₀)aryl-(C=O)-NH-SO₂-NH-, (C₆-C₁₀)aryl-(C=O)-NH-SO₂-NH-(C₁-C₈)alkyl, (C₁-C₉)heteroaryl-(C=O)-NH-SO₂-NH-, (C₁-C₉)heteroaryl-(C=O)-NH-SO₂-NH-(C₁-C₈)alkyl, NH₂-(C=O)-NH-SO₂-NH-, NH₂-(C=O)-NH-SO₂-NH-(C₁-C₈)alkyl, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-(C=O)-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C=O)-(C₁-C₈)alkyl-, or (C₁-C₉)heterocyclyl-(C=O)-(C₁-C₈)alkyl;
- or, if Y is a (C₂-C₉)heteroaryl group, then R⁴ can also be HO-(C=O)-(C₁-C₈)alkyl-, (C₂-C₉)heteroaryl-, (C₂-C₉)heterocyclyl-, (C₂-C₉)heteroaryl-(C₁-C₈)alkyl, or (C₂-C₉)heterocyclyl-(C₁-C₈)alkyl ;
- each R⁵ is independently H-, HO-, halo-, NC-, HO-(C=O)-, H₂N-, (C₁-C₈)alkyl-NH-, [(C₁-C₈)alkyl]₂N-, (C₁-C₈)alkyl-, (C₁-C₈)alkyl-O-, HO-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, H₂N-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-(C₁-C₈)alkyl-, [(C₁-C₈)alkyl]₂N-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-, (C₁-C₈)alkyl-(C=O)-(C₁-C₈)alkyl-, (C₆-C₁₀)aryl-, (C₂-C₉)heteroaryl-, (C₆-C₁₀)aryloxy-, H₂N-(C=O)-, H₂N-(C=O)-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-(C=O)-, (C₁-C₈)alkyl-NH-(C=O)-(C₁-C₈)alkyl-, [(C₁-C₈)alkyl]₂N-(C=O)-, [(C₁-C₈)alkyl]₂N-(C=O)-(C₁-C₈)alkyl-, (C₃-C₈)cycloalkyl-, (C₁-C₈)alkyl-SO₂-, NC-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-NH-, H₂N-(C=O)-NH-, or H₂N-(C=O)-NH-(C₁-C₈)alkyl-;
- and
- R⁶ is H, (C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-, (C₆-C₁₀)aryl-(C=O)-, (C₂-C₉)heteroaryl-(C=O)-, H₂N-(C=O)-, (C₁-C₈)alkyl-NH-(C=O)-, [(C₁-C₈)alkyl]₂N-(C=O)-, (C₁-C₈)alkyl-O-(C=O)-, or (C₁-C₈)alkyl-SO₂-.

2. The method according to claim 1, wherein the pharmaceutically acceptable form of the compound is a pharmaceutically acceptable salt or prodrug thereof.

3. The method according to claim 1, wherein the stereochemistry of the compound is as depicted in formula Ia and b is 0 or 1 and c is 1:



4. The method according to claim 3, wherein the compound of formula Ia each R^1 is independently H-, HO-, halo, NC-, (C₁-C₈)alkyl, or (C₁-C₈)alkyl-O-; and a is 1 or 2.
5. The method according to claim 4, wherein the compound of formula Ia R^2 is H- or (C₁-C₈)alkyl- and R^3 is (C₁-C₈)alkyl-.
6. The method according to claim 5, wherein the compound of formula Ia X is -O- or -NR⁶- and R^6 is H-.
7. The method according to claim 6, wherein the compound of formula Ia d is 1 or 2, and R^5 is H-, HO-, NC-, (C₁-C₈)alkyl-, (C₁-C₈)alkyl-O-, (C₁-C₈)alkyl-(C=O)-, or halo.
8. The method according to claim 7, wherein the compound of formula Ia R^4 is [HO-(C=O)-][H₂N-](C₁-C₈)alkyl-, [HO-(C=O)-][(C₁-C₈)alkyl]NH-](C₁-C₈)alkyl-, [HO-(C=O)-][(C₁-C₈)alkyl]₂N-](C₁-C₈)alkyl-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl]N-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl]N-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, NC-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, HO-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, H₂N-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-SO₂-NH-(C=O)-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, H₂N-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, NC-(C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, HO-(C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, H₂N-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-NH-SO₂-NH-(C₁-C₈)alkyl, HO-(C=O)-(C₁-C₈)alkyl-O-, HO-(C=O)-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-O-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-O-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-O-, (C₁-C₉)heterocyclyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-O-, (C₁-C₉)heteroaryl-O-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-S-, HO-(C=O)-(C₁-C₈)alkyl-S-

- (C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-S-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-S-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-S-, (C₁-C₉)heterocyclyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-S-, (C₁-C₉)heteroaryl-S-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-(C₁-C₈)alkyl-, HO-(C=O)-(C=O)-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-(C=O)-, or (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-(C=O)-.
9. The method according to claim 8, wherein the compound of formula Ia Y is (C₆-C₁₀)aryl.
10. The method according to claim 3, wherein the compound of formula Ia R⁴ is [HO-(C=O)-][H₂N-](C₁-C₈)alkyl-, [HO-(C=O)-][(C₁-C₈)alkyl]NH-](C₁-C₈)alkyl-, [HO-(C=O)-][(C₁-C₈)alkyl]₂N-](C₁-C₈)alkyl-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl]N-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl]N-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, NC-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, HO-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, H₂N-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-SO₂-NH-(C=O)-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, H₂N-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, NC-(C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, HO-(C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, H₂N-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-NH-SO₂-NH-(C₁-C₈)alkyl, HO-(C=O)-(C₁-C₈)alkyl-O-, HO-(C=O)-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-O-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-O-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-O-, (C₁-C₉)heterocyclyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-O-, (C₁-C₉)heteroaryl-O-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-S-, HO-(C=O)-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-S-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-S-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-S-, (C₁-C₉)heterocyclyl-S-(C₁-C₈)alkyl-, (C₁-

C₉)heteroaryl-S-, (C₁-C₉)heteroaryl-S-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-(C₁-C₈)alkyl-, HO-(C=O)-(C=O)-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-(C=O)-, or (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-(C=O)-.

5

11. The method according to claim 10, wherein the compound of formula Ia a is 1 or 2;

X is -O- or -NR⁶-;

each R¹ is independently H-, HO-, halo, NC-, (C₁-C₈)alkyl, or (C₁-C₈)alkyl-O-

10 ;

R² and R³ are each independently H-, (C₁-C₈)alkyl-, (C₃-C₈)cycloalkyl-, (C₃-C₈)cycloalkyl-(C₁-C₈)alkyl-, (C₆-C₁₀)aryl-, (C₆-C₁₀)aryl-(C₁-C₈)alkyl-, HO-(C₁-C₈)alkyl-, H₂N-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-O-(C=O)-NH-(C₁-C₈)alkyl-, H₂N-(C=O)-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-, H₂N-(C=O)-, or H₂N-(C=O)-(C₁-C₈)alkyl-; and

15

R⁵ is H-, HO-, NC-, (C₁-C₈)alkyl-, (C₁-C₈)alkyl-O-, (C₁-C₈)alkyl-(C=O)-, or halo.

12. The method according to claim 11, wherein the compound of formula Ia a is 1 or 2;

20

d is 1 or 2;

X is -O-;

Y is (C₆-C₁₀)aryl;

R¹ is halo;

R² is H- or (C₁-C₈)alkyl-;

25

R³ is (C₁-C₈)alkyl-; and

R⁵ is H-, halo, (C₁-C₈)alkyl-, or (C₁-C₈)alkyl-O-.

13. The method according to claim 12, wherein the compound of formula Ia R⁴ is [HO-(C=O)-][H₂N-](C₁-C₈)alkyl-, [HO-(C=O)-][(C₁-C₈)alkyl]NH-(C₁-C₈)alkyl-, [HO-(C=O)-][(C₁-C₈)alkyl]₂N-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, H₂N-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-SO₂-NH-(C=O)-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C=O)-NH-

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- SO₂-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-NH-SO₂-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-(C₁-C₈)alkyl-, HO-(C=O)-(C=O)-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-O-N=(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-NH-, HO-(C=O)-(C₁-C₈)alkyl-NH-SO₂-, HO-(C=O)-(C₁-C₈)alkyl-NH-SO₂-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl substituted with hydroxy-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-O-, or (C₁-C₈)alkyl-SO₂-NH-(C=O)-O-(C₁-C₈)alkyl-.
- 10
14. The method according to claim 7, wherein the compound of formula Ia Y is pyridyl and R⁴ is [HO-(C=O)-][H₂N-](C₁-C₈)alkyl-, [HO-(C=O)-][(C₁-C₈)alkyl]NH-](C₁-C₈)alkyl-, [HO-(C=O)-][](C₁-C₈)alkyl)₂N-](C₁-C₈)alkyl-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl]N-, [HO-(C=O)-(C₁-C₈)alkyl][(C₁-C₈)alkyl]N-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, NC-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, HO-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, H₂N-SO₂-NH-(C=O)-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-SO₂-NH-(C=O)-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, H₂N-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, NC-(C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, HO-(C₁-C₈)alkyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, H₂N-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-NH-(C=O)-NH-SO₂-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-NH-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-(C=O)-NH-SO₂-NH-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-O-, HO-(C=O)-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₈)alkyl-SO₂-NH-(C=O)-(C₁-C₈)alkyl-O-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-O-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-O-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-O-, (C₁-C₉)heterocyclyl-O-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-O-, (C₁-C₉)heteroaryl-O-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-S-, HO-(C=O)-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-S-, (C₁-C₉)heterocyclyl-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-S-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heterocyclyl-S-, (C₁-C₉)heterocyclyl-S-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-S-, (C₁-C₉)heteroaryl-S-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-SO₂-, HO-
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- 25
- 30

(C=O)-(C₁-C₈)alkyl-SO₂-(C₁-C₈)alkyl-, HO-(C=O)-(C=O)-(C₁-C₈)alkyl-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-, HO-(C=O)-(C₁-C₈)alkyl-(C=O)-(C₁-C₈)alkyl-, (C₁-C₉)heteroaryl-(C₁-C₈)alkyl-(C=O)-, HO-(C=O)-(C₁-C₈)alkyl-, (C₂-C₉)heteroaryl-, (C₂-C₉)heterocyclyl-, (C₂-C₉)heteroaryl-(C₁-C₈)alkyl, or (C₂-C₉)heterocyclyl-(C₁-C₈)alkyl ;

5

15. The method according to claim 1, wherein the compound of formula I is selected from the group consisting of:

(2-{2-[4-(4-Fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-5-trifluoromethyl-phenyl)-methanesulfonamide;

10

(2-{3-[4-(4-Fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-3-oxo-propyl}-5-methyl-phenoxy)-acetic acid;

(5-Bromo-2-{2-[(2R)-2-ethyl-4-(4-fluoro-benzyl)-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-methanesulfonamide;

15

(5-Bromo-2-{2-[4-(4-chloro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}phenyl)methanesulfonamide;

(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-benzyloxy)-acetyl methanesulfonamide;

[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethylamino)-pyridine-3-carbonyl)-amino]-acetic acid;

20

2-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-4-methyl-thiazole-5-carboxylic acid;

3-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acrylic acid;

25

4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-4-oxo-butyric acid;

5-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-5-methyl-pyrimidine-2,4,6-trione;

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6-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)methyl)-nicotinic acid;

C-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-N-(3-hydroxy-3-methyl-butyryl)-methanesulfonamide;

C-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-N-hydroxyacetyl-methanesulfonamide;

N-[(2-{2-[4-(4-Fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-4-methoxy-phenyl)-acetyl]-methanesulfonamide; and

N-[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-4-fluoro-benzenesulfonamide;

5 or a pharmaceutically acceptable form thereof.

16. The method according to claim 1, wherein the compound of formula I is selected from the group consisting of:

10 (2S)-2-Amino-4-(5-chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-butyric acid;

(4S)-4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-pyrrolidine-(2S)-2-carboxylic acid;

(5-Bromo-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-benzylideneaminoxy)-acetic acid;

15 (5-Bromo-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-acetic acid;

(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-benzylsulfamoyl)-acetic acid;

20 3-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acrylic acid;

4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-4-oxo-butyric acid;

5-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-5-oxo-pentanoic acid;

25 (5-Chloro-2{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-benzylideneaminoxy)-acetic acid;

6-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-nicotinic acid;

30 C-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-N-hydroxyacetyl-methanesulfonamide;

N-[(5-Bromo-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-methanesulfonamide;

N-[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-methanesulfonamide;

N-[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-methanesulfonamide; and

N-[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-pyridin-3-yl)-acetyl]-methanesulfonamide;

5 or a pharmaceutically acceptable form thereof.

17. The method according to claim 1, wherein the compound of formula I is selected from the group consisting of:

(2R)-2-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-propionic acid;

(4S)-4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-pyrrolidine-2-carboxylic acid;

(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenylsulfamoyl)-acetic acid;

15 4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-4-hydroxy-butyric acid;

4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenoxy)-pyridine-2-carboxylic acid;

20 4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-but-3-enoic acid;

4-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-4-hydroxy-but-3-enoic acid;

N-(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-pyridin-3-yl)-succinamic acid;

25 N-[(5-Bromo-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-methanesulfonamide;

N-[(5-Chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-sulfamide;

30 N-Acetyl-C-(5-bromo-2-{2-[4-(4-chloro-benzyl)-(2R)-2-methyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-methanesulfonamide;

N-Acetyl-C-(5-chloro-2-{2-[(2R)-2-ethyl-4-(4-fluoro-benzyl)-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-methanesulfonamide;

N-Acetyl-C-(5-chloro-2-{2-[4-(4-chloro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-methanesulfonamide; and

Propane-1-sulfonic acid [(5-chloro-2-{2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-piperazin-1-yl]-2-oxo-ethoxy}-phenyl)-acetyl]-amide;
or a pharmaceutically acceptable form thereof.

- 5 18. The method according to claim 1, wherein the compound is administered as a composition comprising the compound of formula I or Ia and a pharmaceutically acceptable carrier.
- 10 19. The method according to claim 18, wherein the disorder or condition is selected from the group consisting of pulmonary fibrosis, fibrosis associated with end-stage renal disease, fibrosis caused by radiation, tubulointerstitial fibrosis, subepithelial fibrosis, scleroderma, hepatic fibrosis, primary and secondary biliary cirrhosis, obesity, cachexia, anorexia, type II diabetes, hyperlipidemia and hypergonadism, sequelae associated with multiple myeloma, breast cancer, joint
- 15 tissue damage, hyperplasia, pannus formation and bone resorption, hepatic failure, Kawasaki syndrome, myocardial infarction, acute liver failure, septic shock, congestive heart failure, pulmonary emphysema or dyspnea associated therewith, viral induced encephalomyelitis or demyelination, gastrointestinal inflammation, bacterial meningitis, cytomegalovirus, adenoviruses, Herpes viruses, fungal
- 20 meningitis, lyme disease, and malaria.